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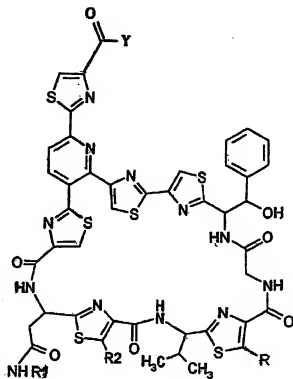
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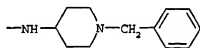
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(54) Title: USE OF AMIDE DERIVATIVE OF GE 2270 FACTOR A3 FOR THE TREATMENT OF ACNE



(57) Abstract: Use of the compound of formula (I) and the pharmaceutically acceptable addition salts thereof for the manufacture of a medicament for topical treatment or 5 prevention of acne formula (I) wherein: R represents methoxymethyl, R1 represents methyl, Rz represents methyl, Y represents the group formula (II) The compound of formula (I) and the pharmaceutically acid addition salts thereof show selective activity against propionibacterium acne and are suitable for use in a method of treatment or prevention of acne.



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Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
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Minimum documentation searched (classification system followed by classification symbols)

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Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

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C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 494 078 A (LEPETIT SPA) 8 July 1992 (1992-07-08)	1,3-5, 7-10, 12-15
Y	compound 33, Table II on p. 36, Table VII, p. 67, lines 51-52	2,6,11
Y	--- PANZER J D ET AL: "ACNE TREATMENT: A COMPARATIVE EFFICACY TRIAL OF CLINDAMYCIN AND TETRACYCLINE" CUTIS, EXCERPTA MEDICA, BELLE MEAD, NJ, US, vol. 19, no. 1, January 1977 (1977-01), pages 109-111, XP009010123 ISSN: 0011-4162 the whole document --- -/--	2,6,11

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 6 143 739 A (SENECI PIERFAUSTO ET AL) 7 November 2000 (2000-11-07) the whole document -----	1-15
A	US 6 008 225 A (CIABATTI ROMEO ET AL) 28 December 1999 (1999-12-28) the whole document -----	1-15

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 03/05989

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0494078	A	08-07-1992	AT 117320 T 15-02-1995
			AU 662122 B2 24-08-1995
			AU 1154492 A 17-08-1992
			CA 2093219 A1 04-07-1992
			CN 1063110 A 29-07-1992
			DE 69201236 D1 02-03-1995
			DE 69201236 T2 01-06-1995
			WO 9212172 A1 23-07-1992
			EP 0494078 A1 08-07-1992
			EP 0565567 A1 20-10-1993
			ES 2067325 T3 16-03-1995
			FI 932944 A 24-06-1993
			HU 64085 A2 29-11-1993
			IE 920005 A1 15-07-1992
			IL 100572 A 10-01-1997
			JP 3183508 B2 09-07-2001
			JP 6504768 T 02-06-1994
			KR 225763 B1 15-10-1999
			MX 9200015 A1 31-07-1992
			NO 931978 A 28-05-1993
			NZ 241216 A 27-04-1994
			US 5599791 A 04-02-1997
			ZA 9200007 A 30-09-1992
US 6143739	A	07-11-2000	AT 165101 T 15-05-1998
			AU 693995 B2 09-07-1998
			AU 4785896 A 27-08-1996
			CA 2212372 A1 15-08-1996
			DE 69600244 D1 20-05-1998
			DE 69600244 T2 01-10-1998
			DK 801655 T3 08-02-1999
			WO 9624607 A1 15-08-1996
			EP 0801655 A1 22-10-1997
			ES 2115424 T3 16-06-1998
			JP 2825667 B2 18-11-1998
			JP 10504040 T 14-04-1998
			SI 801655 T1 31-08-1998
US 6008225	A	28-12-1999	AT 231163 T 15-02-2003
			AU 714958 B2 13-01-2000
			AU 1768797 A 02-09-1997
			CA 2242067 A1 21-08-1997
			DE 69718442 D1 20-02-2003
			DE 69718442 T2 30-04-2003
			DK 880541 T3 05-05-2003
			WO 9730078 A1 21-08-1997
			EP 0880541 A1 02-12-1998
			ES 2186866 T3 16-05-2003
			HU 9901007 A2 28-07-1999
			JP 2000505438 T 09-05-2000